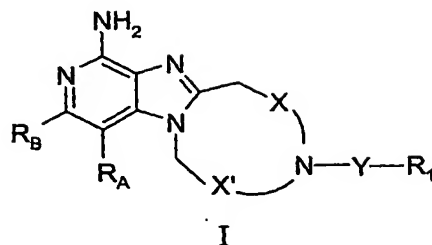


What we claim is:

1. A compound of the Formula I:



wherein:

$R_A$  and  $R_B$  are each independently selected from the group consisting of:

hydrogen,  
halogen,  
alkyl,  
alkenyl,  
alkoxy,  
alkylthio, and  
 $-N(R_9)_2$ ;

or when taken together,  $R_A$  and  $R_B$  form a fused aryl ring or heteroaryl ring containing one heteroatom selected from the group consisting of N and S, wherein the aryl or heteroaryl ring is unsubstituted or substituted by one or more  $R'$  groups;

or when taken together,  $R_A$  and  $R_B$  form a fused 5 to 7 membered saturated ring, optionally containing one heteroatom selected from the group consisting of N and S, and unsubstituted or substituted by one or more R groups;

X is a bond or a straight or branched chain  $C_{1-2}$  alkylene;

X' is a straight or branched chain  $C_{1-8}$  alkylene optionally substituted with hydroxy,  $-O-R_{11}$ , or one or more halogen atoms wherein the hydroxy,  $-O-R_{11}$ , or one or more halogen atoms are bonded to a carbon atom other than a carbon atom adjacent to a nitrogen atom;

X and X' are further characterized in that the total number of ring carbon atoms contributed by X and X' is 1 to 3;

Y is selected from the group consisting of:

a bond,  
 -S(O)<sub>2</sub>-,  
 -S(O)<sub>2</sub>-N(R<sub>8</sub>)-,  
 -C(R<sub>6</sub>)-,  
 -C(R<sub>6</sub>)-O-,  
 -C(R<sub>6</sub>)-N(R<sub>8</sub>)-,  
 -C(R<sub>6</sub>)-N(R<sub>8</sub>)-C(R<sub>6</sub>)-, and  
 -C(R<sub>6</sub>)-N(R<sub>8</sub>)-S(O)<sub>2</sub>-;

R is selected from the group consisting of:

halogen,  
 hydroxy,  
 alkyl,  
 alkenyl,  
 haloalkyl,  
 alkoxy,  
 alkylthio, and  
 -N(R<sub>9</sub>)<sub>2</sub>;

R<sub>1</sub> is selected from the group consisting of: hydrogen, alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl wherein the alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl groups can be unsubstituted or substituted by one or more substituents independently selected from the group consisting of alkyl, alkoxy, alkylthio, alkanoyl, alkanoyloxy, alkoxy carbonyl, hydroxyalkyl, haloalkyl, haloalkoxy, halogen, nitro, hydroxy, mercapto, cyano, aryl, aryloxy, arylthio, arylalkyleneoxy, heteroaryl, heteroaryloxy, heteroarylalkyleneoxy, heterocyclyl, amino, alkylamino, dialkylamino, (dialkylamino)alkyleneoxy, and in the case of alkyl, alkenyl, alkynyl, and heterocyclyl, oxo, and in the case of aryl, methylenedioxy; further with the proviso that when R<sub>A</sub> and R<sub>B</sub> together form a fused benzene ring that is unsubstituted or substituted by C<sub>1-4</sub> alkyl, C<sub>1-4</sub> alkoxy, or halogen, and Y is a bond, R<sub>1</sub> is not hydrogen or C<sub>1-4</sub> alkyl;

$R_6$  is selected from the group consisting of  $=O$  and  $=S$ ;

$R_8$  is selected from the group consisting of hydrogen,  $C_{1-10}$  alkyl,  $C_{2-10}$  alkenyl,  $C_{1-10}$  alkoxy- $C_{1-10}$  alkyl, and aryl- $C_{1-10}$  alkyl;

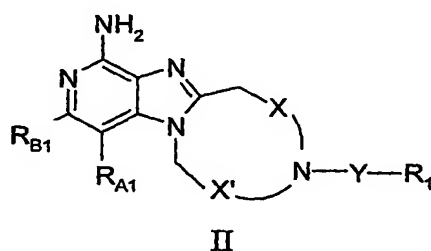
$R_9$  is selected from the group consisting of hydrogen and alkyl;

$R_{11}$  is selected from the group consisting of  $C_{1-6}$  alkyl and  $-\text{Si}(C_{1-6} \text{ alkyl})_3$ ; and

$R'$  is a non-interfering substituent;

or a pharmaceutically acceptable salt thereof.

2. A compound of the Formula II:



wherein:

$R_{A1}$  and  $R_{B1}$  are each independently selected from the group consisting of:

hydrogen,

halogen,

alkyl,

alkenyl,

alkoxy,

alkylthio, and

$-\text{N}(R_9)_2$ ;

or when taken together,  $R_{A1}$  and  $R_{B1}$  form a fused aryl ring or heteroaryl ring containing one heteroatom selected from the group consisting of N and S, wherein the aryl or heteroaryl ring is unsubstituted or substituted by one or more R groups, or substituted by one  $R_3$  group, or substituted by one  $R_3$  group and one R group;

or when taken together,  $R_{A1}$  and  $R_{B1}$  form a fused 5 to 7 membered saturated ring, optionally containing one heteroatom selected from the group consisting of N and S, and unsubstituted or substituted by one or more R groups;

X is a bond or a straight or branched chain  $C_{1-2}$  alkylene;

X' is a straight or branched chain C<sub>1-8</sub> alkylene optionally substituted with hydroxy, -O-R<sub>11</sub>, or one or more halogen atoms wherein the hydroxy, -O-R<sub>11</sub>, or one or more halogen atoms are bonded to a carbon atom other than a carbon atom adjacent to a nitrogen atom;

5 X and X' are further characterized in that the total number of ring carbon atoms contributed by X and X' is 1 to 3;

Y is selected from the group consisting of:

a bond,  
 -S(O)<sub>2</sub>-,  
 10 -S(O)<sub>2</sub>-N(R<sub>8</sub>)-,  
 -C(R<sub>6</sub>)-,  
 -C(R<sub>6</sub>)-O-,  
 -C(R<sub>6</sub>)-N(R<sub>8</sub>)-,  
 -C(R<sub>6</sub>)-N(R<sub>8</sub>)-C(R<sub>6</sub>)-, and  
 15 -C(R<sub>6</sub>)-N(R<sub>8</sub>)-S(O)<sub>2</sub>-;

R<sub>1</sub> is selected from the group consisting of: hydrogen, alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl wherein the alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl groups  
 20 can be unsubstituted or substituted by one or more substituents independently selected from the group consisting of alkyl, alkoxy, alkylthio, alkanoyl, alkanoyloxy, alkoxycarbonyl, hydroxyalkyl, haloalkyl, haloalkoxy, halogen, nitro, hydroxy, mercapto, cyano, aryl, aryloxy, arylthio, arylalkyleneoxy, heteroaryl, heteroaryloxy, heteroarylalkyleneoxy, heterocyclyl, amino, alkylamino, dialkylamino, (dialkylamino)alkyleneoxy, and in the case of alkyl, alkenyl, alkynyl, and heterocyclyl, oxo, and in the case of aryl, methylenedioxy; further with the proviso that when R<sub>A1</sub> and R<sub>B1</sub> together form a fused benzene ring that is unsubstituted or substituted by C<sub>1-4</sub> alkyl, C<sub>1-4</sub> alkoxy, or halogen, and Y is a bond, R<sub>1</sub> is not hydrogen or C<sub>1-4</sub> alkyl;

30 R is selected from the group consisting of:

halogen,  
 hydroxy,

alkyl,  
alkenyl,  
haloalkyl,  
alkoxy,  
alkylthio, and  
-N(R<sub>9</sub>)<sub>2</sub>;

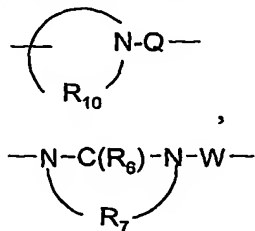
$R_3$  is selected from the group consisting of:

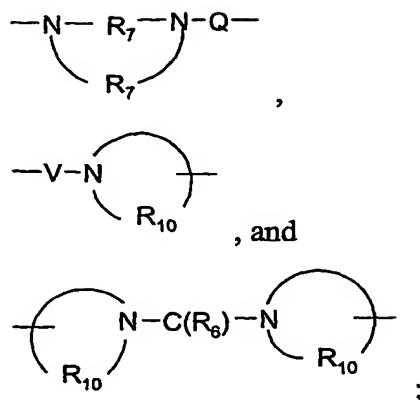
-Z-R<sub>4</sub>,  
-Z-X''-R<sub>4</sub>,  
-Z-X''-Y'-R<sub>4</sub>,  
-Z-X''-Y'-X''-Y'-R<sub>4</sub>, and  
-Z-X''-R<sub>5</sub>;

X" is selected from the group consisting of alkylene, alkenylene, alkynylene, arylene, heteroarylene, and heterocyclylene wherein the alkylene, alkenylene, and alkynylene groups can be optionally interrupted or terminated by arylene, heteroarylene or heterocyclylene and optionally interrupted by one or more -O- groups;

**Y' is selected from the group consisting of:**

- S(O)<sub>0-2</sub>-,
- S(O)<sub>2</sub>-N(R<sub>8</sub>)-,
- C(R<sub>6</sub>)-,
- C(R<sub>6</sub>)-O-,
- O-C(R<sub>6</sub>)-,
- O-C(O)-O-,
- N(R<sub>8</sub>)-Q-,
- C(R<sub>6</sub>)-N(R<sub>8</sub>)-,
- O-C(R<sub>6</sub>)-N(R<sub>8</sub>)-,
- C(R<sub>6</sub>)-N(OR<sub>9</sub>)-,

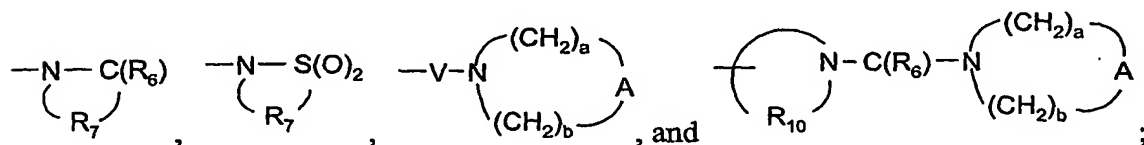




Z is a bond or -O-;

- 5  $R_4$  is selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl wherein the alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl groups can be unsubstituted or substituted by one or more substituents selected from the group
- 10 consisting of alkyl, alkoxy, hydroxyalkyl, haloalkyl, haloalkoxy, halogen, nitro, hydroxy, mercapto, cyano, aryl, aryloxy, arylalkyleneoxy, heteroaryl, heteroaryloxy, heteroarylalkyleneoxy, heterocyclyl, amino, alkylamino, dialkylamino, (dialkylamino)alkyleneoxy, and in the case of alkyl, alkenyl, alkynyl, and heterocyclyl,
- 15 oxo;

$R_5$  is selected from the group consisting of



$R_6$  is selected from the group consisting of =O and =S;

$R_7$  is  $C_{2-7}$  alkylene;

- 20  $R_8$  is selected from the group consisting of hydrogen,  $C_{1-10}$  alkyl,  $C_{2-10}$  alkenyl,  $C_{1-10}$  alkoxy- $C_{1-10}$  alkylenyl, and aryl- $C_{1-10}$  alkylenyl;

$R_9$  is selected from the group consisting of hydrogen and alkyl;

$R_{10}$  is  $C_{3-8}$  alkylene;

$R_{11}$  is selected from the group consisting of  $C_{1-6}$  alkyl and  $-\text{Si}(C_{1-6} \text{ alkyl})_3$ ;

- 25 A is selected from the group consisting of  $-\text{CH}_2-$ ,  $-\text{O}-$ ,  $-\text{C(O)}-$ ,  $-\text{S(O)}_{0-2}-$ , and

–N(R<sub>4</sub>)–;

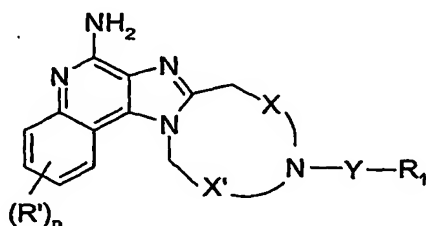
Q is selected from the group consisting of a bond, –C(R<sub>6</sub>)–, –C(R<sub>6</sub>)–C(R<sub>6</sub>)–, –S(O)<sub>2</sub>–, –C(R<sub>6</sub>)–N(R<sub>8</sub>)–W–, –S(O)<sub>2</sub>–N(R<sub>8</sub>)–, –C(R<sub>6</sub>)–O–, and –C(R<sub>6</sub>)–N(OR<sub>9</sub>);

V is selected from the group consisting of –C(R<sub>6</sub>)–, –O–C(R<sub>6</sub>)–, –N(R<sub>8</sub>)–C(R<sub>6</sub>)–, and –S(O)<sub>2</sub>–;

W is selected from the group consisting of a bond, –C(O)–, and –S(O)<sub>2</sub>–; and

a and b are independently integers from 1 to 6 with the proviso that a + b is ≤ 7; or a pharmaceutically acceptable salt thereof.

3. A compound of the Formula III:



III

wherein:

X is a bond or a straight or branched chain C<sub>1-2</sub> alkylene;

X' is a straight or branched chain C<sub>1-8</sub> alkylene optionally substituted with hydroxy wherein the hydroxy is bonded to a carbon atom other than a carbon atom adjacent a nitrogen atom;

X and X' are further characterized in that the total number of ring carbon atoms contributed by X and X' is 1 to 3;

Y is selected from the group consisting of:

a bond,

–S(O)<sub>2</sub>–,

–S(O)<sub>2</sub>–N(R<sub>8</sub>)–,

–C(R<sub>6</sub>)–,

–C(R<sub>6</sub>)–N(R<sub>8</sub>)–,

–C(R<sub>6</sub>)–N(R<sub>8</sub>)–C(R<sub>6</sub>)–, and

–C(R<sub>6</sub>)–N(R<sub>8</sub>)–S(O)<sub>2</sub>–;

$R_1$  is selected from the group consisting of: hydrogen, alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl wherein the alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl groups can be unsubstituted or substituted by one or more substituents independently selected from the group consisting of alkyl, alkoxy, alkylthio, alkanoyl, alkanoyloxy, alkoxycarbonyl, hydroxyalkyl, haloalkyl, haloalkoxy, halogen, nitro, hydroxy, mercapto, cyano, aryl, aryloxy, arylthio, arylalkyleneoxy, heteroaryl, heteroaryloxy, heteroarylalkyleneoxy, heterocyclyl, amino, alkylamino, dialkylamino, (dialkylamino)alkyleneoxy, and in the case of alkyl, alkenyl, alkynyl, and heterocyclyl, oxo, and in the case of aryl, methylenedioxy; further with the proviso that when Y is a bond,  $R_1$  is not hydrogen or  $C_{1-4}$  alkyl;

$R_6$  is selected from the group consisting of  $=O$  and  $=S$ ;

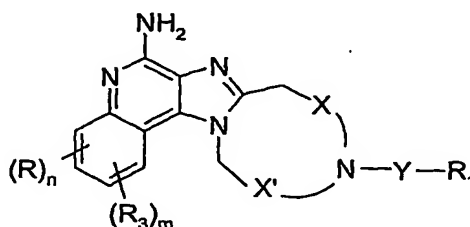
$R_8$  is selected from the group consisting of hydrogen,  $C_{1-10}$  alkyl,  $C_{2-10}$  alkenyl,  $C_{1-10}$  alkoxy- $C_{1-10}$  alkylenyl, and aryl- $C_{1-10}$  alkylenyl;

$R'$  is a non-interfering substituent; and

$n$  is an integer from 0 to 4;

or a pharmaceutically acceptable salt thereof.

4. A compound of the Formula IV:



IV

wherein:

X is a bond or a straight or branched chain  $C_{1-2}$  alkylene;

X' is a straight or branched chain  $C_{1-8}$  alkylene optionally substituted with hydroxy,  $-O-R_{11}$ , or one or more halogen atoms wherein the hydroxy,  $-O-R_{11}$ , or one or more



halogen atoms are bonded to a carbon atom other than a carbon atom adjacent to a nitrogen atom;

X and X' are further characterized in that the total number of ring carbon atoms contributed by X and X' is 1 to 3;

5 Y is selected from the group consisting of:

a bond,  
 -S(O)<sub>2</sub>-,  
 -S(O)<sub>2</sub>-N(R<sub>8</sub>)-,  
 -C(R<sub>6</sub>)-,  
 10 -C(R<sub>6</sub>)-O-,  
 -C(R<sub>6</sub>)-N(R<sub>8</sub>)-,  
 -C(R<sub>6</sub>)-N(R<sub>8</sub>)-C(R<sub>6</sub>)-, and  
 -C(R<sub>6</sub>)-N(R<sub>8</sub>)-S(O)<sub>2</sub>-;

15 R<sub>1</sub> is selected from the group consisting of: hydrogen, alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl wherein the alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl groups can be unsubstituted or substituted by one or more substituents independently selected  
 20 from the group consisting of alkyl, alkoxy, alkylthio, alkanoyl, alkanoyloxy, alkoxycarbonyl, hydroxyalkyl, haloalkyl, haloalkoxy, halogen, nitro, hydroxy, mercapto, cyano, aryl, aryloxy, arylthio, arylalkyleneoxy, heteroaryl, heteroaryloxy, heteroarylalkyleneoxy, heterocyclyl, amino, alkylamino, dialkylamino, (dialkylamino)alkyleneoxy, and in the case of alkyl, alkenyl, alkynyl, and heterocyclyl,  
 25 oxo, and in the case of aryl, methylenedioxy;

R is selected from the group consisting of:

halogen,  
 hydroxy,  
 alkyl,  
 30 alkenyl,  
 haloalkyl,  
 alkoxy,

alkylthio, and

$$-N(R_9)_2;$$

$R_3$  is selected from the group consisting of:

-Z-R<sub>4</sub>,

$$-Z-X''-R_4,$$
$$-Z-X''-Y'-R_4,$$

**-Z-X"-Y'-X"-Y'-R<sub>4</sub>, and**

$$-Z-X''-R_5;$$

$m$  is 0 or 1; with the proviso that when  $m$  is 1, then  $n$  is 0 or 1;

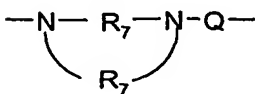
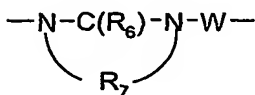
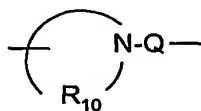
n is an integer from 0 to 4;

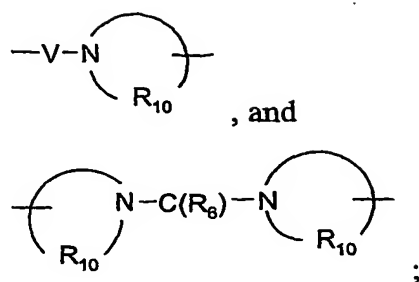
X" is selected from the group consisting of alkylene, alkenylene, alkynylene, arylene, heteroarylene, and heterocyclylene wherein the alkylene, alkenylene, and alkynylene groups can be optionally interrupted or terminated by arylene, heteroarylene or heterocyclylene and optionally interrupted by one or more -O- groups;

**Y' is selected from the group consisting of:**

$$-\text{S}(\text{O})_{0-2}-$$
$$-\text{S}(\text{O})_2-\text{N}(\text{R}_8)-,$$
$$-\text{C}(\text{R}_6)-,$$
$$-\text{C}(\text{R}_6)-\text{O}-,$$
$$-\text{O}-\text{C}(\text{R}_6)-,$$
$$-\text{O}-\text{C}(\text{O})-\text{O}-,$$

-N(R<sub>8</sub>)-Q-,

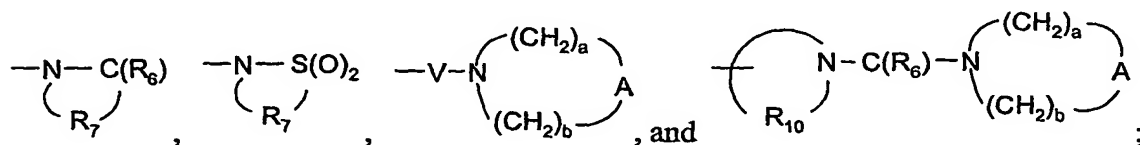
$$-\text{C}(\text{R}_6)-\text{N}(\text{R}_8)-,$$
$$-\text{O}-\text{C}(\text{R}_6)-\text{N}(\text{R}_8)-,$$
$$-\text{C}(\text{R}_6)-\text{N}(\text{OR}_9)-,$$




Z is a bond or -O-;

5  $R_4$  is selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl wherein the alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl groups can be unsubstituted or substituted by one or more substituents selected from the group  
 10 consisting of alkyl, alkoxy, hydroxyalkyl, haloalkyl, haloalkoxy, halogen, nitro, hydroxy, mercapto, cyano, aryl, aryloxy, arylalkyleneoxy, heteroaryl, heteroaryloxy, heteroarylalkyleneoxy, heterocyclyl, amino, alkylamino, dialkylamino, (dialkylamino)alkyleneoxy, and in the case of alkyl, alkenyl, alkynyl, and heterocyclyl, oxo;

15  $R_5$  is selected from the group consisting of



$R_6$  is selected from the group consisting of =O and =S;

$R_7$  is  $C_{2-7}$  alkylene;

20  $R_8$  is selected from the group consisting of hydrogen,  $C_{1-10}$  alkyl,  $C_{2-10}$  alkenyl,  $C_{1-10}$  alkoxy- $C_{1-10}$  alkylenyl, and aryl- $C_{1-10}$  alkylenyl;

$R_9$  is selected from the group consisting of hydrogen and alkyl;

$R_{10}$  is  $C_{3-8}$  alkylene;

$R_{11}$  is selected from the group consisting of  $C_{1-6}$  alkyl and  $-\text{Si}(C_{1-6} \text{ alkyl})_3$ ;

25 A is selected from the group consisting of  $-\text{CH}_2-$ ,  $-\text{O}-$ ,  $-\text{C}(\text{O})-$ ,  $-\text{S}(\text{O})_{0-2}-$ , and  $-\text{N}(\text{R}_4)-$ ;

Q is selected from the group consisting of a bond,  $-C(R_6)-$ ,  $-C(R_6)-C(R_6)-$ ,  $-S(O)_2-$ ,  $-C(R_6)-N(R_8)-W-$ ,  $-S(O)_2-N(R_8)-$ ,  $-C(R_6)-O-$ , and  $-C(R_6)-N(OR_9)$ ;

V is selected from the group consisting of  $-C(R_6)-$ ,  $-O-C(R_6)-$ ,  $-N(R_8)-C(R_6)-$ , and  $-S(O)_2-$ ;

W is selected from the group consisting of a bond,  $-C(O)-$ , and  $-S(O)_2-$ ; and

a and b are independently integers from 1 to 6 with the proviso that  $a + b \leq 7$ ;

with the proviso that  $R_1$  is not hydrogen or  $C_{1-4}$  alkyl when Y is a bond, and:

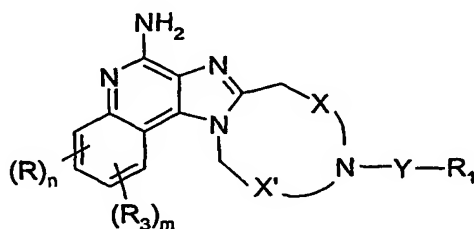
n and m are both 0, or

m is 0, n is 1, and R is selected from the group consisting of  $C_{1-4}$  alkyl,

$C_{1-4}$  alkoxy, and halogen;

or a pharmaceutically acceptable salt thereof.

A compound of the Formula IV:



IV

wherein:

X is a bond or a straight or branched chain  $C_{1-2}$  alkylene;

X' is a straight or branched chain  $C_{1-8}$  alkylene optionally substituted with hydroxy wherein the hydroxy is bonded to a carbon atom other than a carbon atom adjacent a nitrogen atom;

X and X' are further characterized in that the total number of ring carbon atoms contributed by X and X' is 1 to 3;

Y is selected from the group consisting of:

a bond,

$-S(O)_2-$ ,

$-S(O)_2-N(R_8)-$ ,

$-C(R_6)-$ ,

$-C(R_6)-N(R_8)-$ ,

-C(R<sub>6</sub>)-N(R<sub>8</sub>)-C(R<sub>6</sub>)-, and

-C(R<sub>6</sub>)-N(R<sub>8</sub>)-S(O)<sub>2</sub>-;

R<sub>1</sub> is selected from the group consisting of: hydrogen, alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl wherein the alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl groups can be unsubstituted or substituted by one or more substituents independently selected from the group consisting of alkyl, alkoxy, alkylthio, alkanoyl, alkanoyloxy, alkoxycarbonyl, hydroxyalkyl, haloalkyl, haloalkoxy, halogen, nitro, hydroxy, mercapto, cyano, aryl, aryloxy, arylthio, arylalkyleneoxy, heteroaryl, heteroaryloxy, heteroarylalkyleneoxy, heterocyclyl, amino, alkylamino, dialkylamino, (dialkylamino)alkyleneoxy, and in the case of alkyl, alkenyl, alkynyl, and heterocyclyl, oxo, and in the case of aryl, methylenedioxy; further with the proviso that when Y is a bond, R<sub>1</sub> is not hydrogen or C<sub>1-4</sub> alkyl;

R is selected from the group consisting of:

halogen,

hydroxy,

alkyl,

alkenyl,

haloalkyl,

alkoxy,

alkylthio, and

-N(R<sub>9</sub>)<sub>2</sub>;

R<sub>3</sub> is selected from the group consisting of:

-Z-R<sub>4</sub>,

-Z-X"-R<sub>4</sub>,

-Z-X"-Y'-R<sub>4</sub>,

-Z-X"-Y'-X"-Y'-R<sub>4</sub>, and

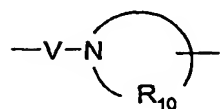
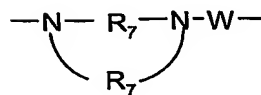
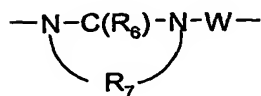
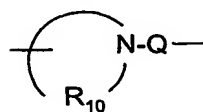
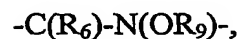
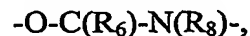
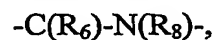
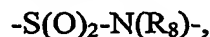
-Z-X"-R<sub>5</sub>;

m is 0 or 1; with the proviso that when m is 1, then n is 0 or 1;

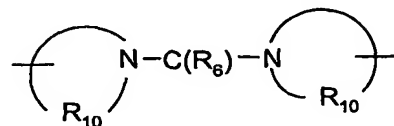
n is an integer from 0 to 4;

X' is selected from the group consisting of alkylene, alkenylene, alkynylene, arylene, heteroarylene, and heterocyclylene wherein the alkylene, alkenylene, and alkynylene groups can be optionally interrupted or terminated by arylene, heteroarylene or heterocyclylene and optionally interrupted by one or more -O- groups;

Y' is selected from the group consisting of:



, and



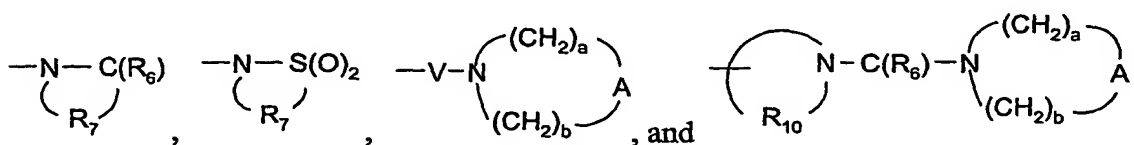
;

Z is a bond or -O-;

R<sub>4</sub> is selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl wherein the alkyl, alkenyl,

alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl groups can be unsubstituted or substituted by one or more substituents independently selected from the group consisting of alkyl, alkoxy, hydroxyalkyl, haloalkyl, haloalkoxy, halogen, nitro, hydroxy, mercapto, cyano, aryl, aryloxy, arylalkyleneoxy, heteroaryl, heteroaryloxy, heteroarylalkyleneoxy, heterocyclyl, amino, alkylamino, dialkylamino, (dialkylamino)alkyleneoxy, and in the case of alkyl, alkenyl, alkynyl, and heterocyclyl, oxo;

$R_5$  is selected from the group consisting of



$R_6$  is selected from the group consisting of =O and =S;

$R_7$  is  $C_{2-7}$  alkylene;

$R_8$  is selected from the group consisting of hydrogen,  $C_{1-10}$  alkyl,  $C_{2-10}$  alkenyl,  $C_{1-10}$  alkoxy- $C_{1-10}$  alkylenyl, and aryl- $C_{1-10}$  alkylenyl;

$R_9$  is selected from the group consisting of hydrogen and alkyl;

$R_{10}$  is  $C_{3-8}$  alkylene;

A is selected from the group consisting of  $-\text{CH}_2-$ ,  $-\text{O}-$ ,  $-\text{C(O)}-$ ,  $-\text{S(O)}_{0-2}-$ , and  $-\text{N(R}_4\text{)}-$ ;

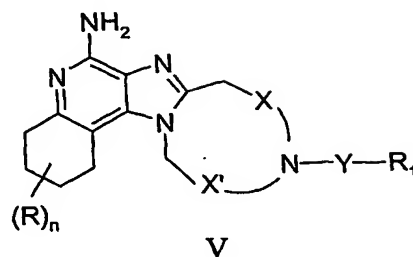
Q is selected from the group consisting of a bond,  $-\text{C(R}_6\text{)}-$ ,  $-\text{C(R}_6\text{)}-\text{C(R}_6\text{)}-$ ,  $-\text{S(O)}_2-$ ,  $-\text{C(R}_6\text{)}-\text{N(R}_8\text{)}-\text{W}-$ ,  $-\text{S(O)}_2-\text{N(R}_8\text{)}-$ ,  $-\text{C(R}_6\text{)}-\text{O}-$ , and  $-\text{C(R}_6\text{)}-\text{N(OR}_9\text{)}-$ ;

V is selected from the group consisting of  $-\text{C(R}_6\text{)}-$ ,  $-\text{O}-\text{C(R}_6\text{)}-$ ,  $-\text{N(R}_8\text{)}-\text{C(R}_6\text{)}-$ , and  $-\text{S(O)}_2-$ ;

W is selected from the group consisting of a bond,  $-\text{C(O)}-$ , and  $-\text{S(O)}_2-$ ; and

a and b are independently integers from 1 to 6 with the proviso that  $a + b \leq 7$ ; or a pharmaceutically acceptable salt thereof.

6. A compound of the Formula V:



5 wherein:

X is a bond or a straight or branched chain C<sub>1-2</sub> alkylene;

X' is a straight or branched chain C<sub>1-8</sub> alkylene optionally substituted with hydroxy, -O-R<sub>11</sub>, or one or more halogen atoms wherein the hydroxy, -O-R<sub>11</sub>, or one or more halogen atoms are bonded to a carbon atom other than a carbon atom adjacent to a nitrogen atom;

X and X' are further characterized in that the total number of ring carbon atoms contributed by X and X' is 1 to 3;

Y is selected from the group consisting of:

a bond,

-S(O)<sub>2</sub>-,

-S(O)<sub>2</sub>-N(R<sub>8</sub>)-,

-C(R<sub>6</sub>)-,

-C(R<sub>6</sub>)-O-,

-C(R<sub>6</sub>)-N(R<sub>8</sub>)-,

-C(R<sub>6</sub>)-N(R<sub>8</sub>)-C(R<sub>6</sub>)-, and

-C(R<sub>6</sub>)-N(R<sub>8</sub>)-S(O)<sub>2</sub>-;

R is selected from the group consisting of:

halogen,

hydroxy,

alkyl,

alkenyl,

haloalkyl,

alkoxy,

alkylthio, and



-N(R<sub>9</sub>)<sub>2</sub>;

R<sub>1</sub> is selected from the group consisting of: hydrogen, alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl wherein the alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl groups can be unsubstituted or substituted by one or more substituents independently selected from the group consisting of alkyl, alkoxy, alkylthio, alkanoyl, alkanoyloxy, alkoxy carbonyl, hydroxyalkyl, haloalkyl, haloalkoxy, halogen, nitro, hydroxy, mercapto, cyano, aryl, aryloxy, arylthio, arylalkyleneoxy, heteroaryl, heteroaryloxy, heteroarylalkyleneoxy, heterocyclyl, amino, alkylamino, dialkylamino, (dialkylamino)alkyleneoxy, and in the case of alkyl, alkenyl, alkynyl, and heterocyclyl, oxo, and in the case of aryl, methylenedioxy;

R<sub>6</sub> is selected from the group consisting of =O and =S;

R<sub>8</sub> is selected from the group consisting of hydrogen, C<sub>1-10</sub> alkyl, C<sub>2-10</sub> alkenyl, C<sub>1-10</sub> alkoxy-C<sub>1-10</sub> alkylenyl, and aryl-C<sub>1-10</sub> alkylenyl;

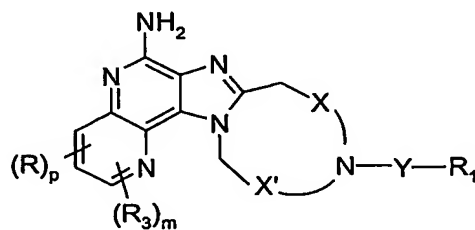
R<sub>9</sub> is selected from the group consisting of hydrogen and alkyl;

R<sub>11</sub> is selected from the group consisting of C<sub>1-6</sub> alkyl and -Si(C<sub>1-6</sub> alkyl)<sub>3</sub>; and

n is an integer from 0 to 4;

or a pharmaceutically acceptable salt thereof.

7. A compound of the Formula VI:



VI

wherein:

X is a bond or a straight or branched chain C<sub>1-2</sub> alkylene;

X' is a straight or branched chain C<sub>1-8</sub> alkylene optionally substituted with hydroxy, -O-R<sub>11</sub>, or one or more halogen atoms wherein the hydroxy, -O-R<sub>11</sub>, or one or more

halogen atoms are bonded to a carbon atom other than a carbon atom adjacent to a nitrogen atom;

X and X' are further characterized in that the total number of ring carbon atoms contributed by X and X' is 1 to 3;

5 Y is selected from the group consisting of:

a bond,  
-S(O)<sub>2</sub>-,  
-S(O)<sub>2</sub>-N(R<sub>8</sub>)-,  
-C(R<sub>6</sub>)-,  
10 -C(R<sub>6</sub>)-O-,  
-C(R<sub>6</sub>)-N(R<sub>8</sub>)-,  
-C(R<sub>6</sub>)-N(R<sub>8</sub>)-C(R<sub>6</sub>)-, and  
-C(R<sub>6</sub>)-N(R<sub>8</sub>)-S(O)<sub>2</sub>-;

R<sub>1</sub> is selected from the group consisting of: hydrogen, alkyl, alkenyl, alkynyl, aryl,  
15 arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl,  
heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl wherein the alkyl, alkenyl,  
alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl,  
heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl groups  
can be unsubstituted or substituted by one or more substituents independently selected  
20 from the group consisting of alkyl, alkoxy, alkylthio, alkanoyl, alkanoyloxy,  
alkoxycarbonyl, hydroxyalkyl, haloalkyl, haloalkoxy, halogen, nitro, hydroxy, mercapto,  
cyano, aryl, aryloxy, arylthio, arylalkyleneoxy, heteroaryl, heteroaryloxy,  
heteroarylalkyleneoxy, heterocyclyl, amino, alkylamino, dialkylamino,  
(dialkylamino)alkyleneoxy, and in the case of alkyl, alkenyl, alkynyl, and heterocyclyl,  
25 oxo, and in the case of aryl, methylenedioxy;

R is selected from the group consisting of:

halogen,  
hydroxy,  
alkyl,  
30 alkenyl,  
haloalkyl,  
alkoxy,

alkylthio, and

$$-\text{N}(\text{R}_9)_2;$$

$R_3$  is selected from the group consisting of:

-Z-R<sub>4</sub>,

$$-Z-X''-R_4,$$
$$-Z-X''-Y'-R_4,$$

-Z-X''-Y'-X''-Y'-R<sub>4</sub>, and

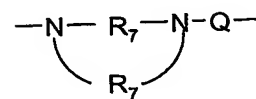
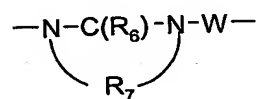
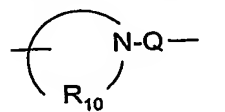
$$-Z-X''-R_5;$$

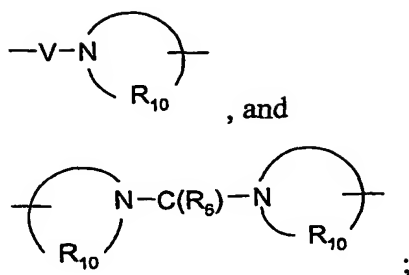
X" is selected from the group consisting of alkylene, alkenylene, alkynylene, arylene, heteroarylene, and heterocyclylene wherein the alkylene, alkenylene, and alkynylene groups can be optionally interrupted or terminated by arylene, heteroarylene or heterocyclylene and optionally interrupted by one or more -O- groups;

Y' is selected from the group consisting of:

$$-\text{S}(\text{O})_{0-2}-$$
$$-\text{S}(\text{O})_2-\text{N}(\text{R}_8)-,$$
$$-\text{C}(\text{R}_6)-,$$
$$-\text{C}(\text{R}_6)-\text{O}-,$$
$$-\text{O}-\text{C}(\text{R}_6)-,$$
$$-\text{O}-\text{C}(\text{O})-\text{O}-,$$

-N(R<sub>8</sub>)-Q-,

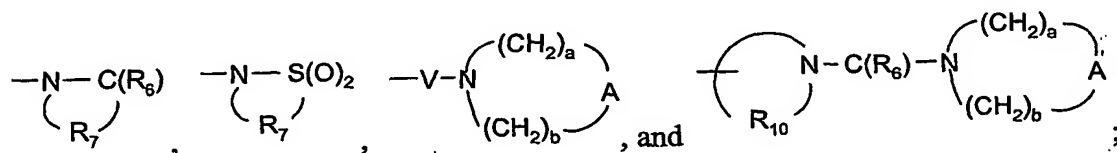
$$-\text{C}(\text{R}_6)-\text{N}(\text{R}_8)-,$$
$$-\text{O}-\text{C}(\text{R}_6)-\text{N}(\text{R}_8)-,$$
$$-\text{C}(\text{R}_6)-\text{N}(\text{OR}_9)-,$$




Z is a bond or -O-;

R<sub>4</sub> is selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl wherein the alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl groups can be unsubstituted or substituted by one or more substituents selected from the group consisting of alkyl, alkoxy, hydroxyalkyl, haloalkyl, haloalkoxy, halogen, nitro, hydroxy, mercapto, cyano, aryl, aryloxy, arylalkyleneoxy, heteroaryl, heteroaryloxy, heteroarylalkyleneoxy, heterocyclyl, amino, alkylamino, dialkylamino, (dialkylamino)alkyleneoxy, and in the case of alkyl, alkenyl, alkynyl, and heterocyclyl, oxo;

R<sub>5</sub> is selected from the group consisting of



R<sub>6</sub> is selected from the group consisting of =O and =S;

R<sub>7</sub> is C<sub>2-7</sub> alkylene;

R<sub>8</sub> is selected from the group consisting of hydrogen, C<sub>1-10</sub> alkyl, C<sub>2-10</sub> alkenyl, C<sub>1-10</sub> alkoxy-C<sub>1-10</sub> alkylenyl, and aryl-C<sub>1-10</sub> alkylenyl;

R<sub>9</sub> is selected from the group consisting of hydrogen and alkyl;

R<sub>10</sub> is C<sub>3-8</sub> alkylene;

R<sub>11</sub> is selected from the group consisting of C<sub>1-6</sub> alkyl and -Si(C<sub>1-6</sub> alkyl)<sub>3</sub>;

A is selected from the group consisting of -CH<sub>2</sub>-, -O-, -C(O)-, -S(O)<sub>0-2</sub>-, and

-N(R<sub>4</sub>)-;

Q is selected from the group consisting of a bond,  $-C(R_6)-$ ,  $-C(R_6)-C(R_6)-$ ,  $-S(O)_2-$ ,  $-C(R_6)-N(R_8)-W-$ ,  $-S(O)_2-N(R_8)-$ ,  $-C(R_6)-O-$ , and  $-C(R_6)-N(OR_9)$ ;

V is selected from the group consisting of  $-C(R_6)-$ ,  $-O-C(R_6)-$ ,  $-N(R_8)-C(R_6)-$ , and  $-S(O)_2-$ ;

5 W is selected from the group consisting of a bond,  $-C(O)-$ , and  $-S(O)_2-$ ;

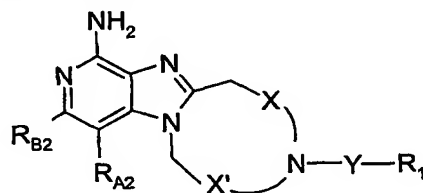
m is 0 or 1; with the proviso that when m is 1, then p is 0 or 1;

p is an integer from 0 to 3; and

a and b are independently integers from 1 to 6 with the proviso that  $a + b \leq 7$ ;  
or a pharmaceutically acceptable salt thereof.

10

8. A compound of the Formula VII:



VII

wherein:

15  $R_{A2}$  and  $R_{B2}$  are each independently selected from the group consisting of:

hydrogen,

halogen,

alkyl,

alkenyl,

20

alkoxy,

alkylthio, and

$-N(R_9)_2$ ;

X is a bond or a straight or branched chain  $C_{1-2}$  alkylene;

X' is a straight or branched chain  $C_{1-8}$  alkylene optionally substituted with hydroxy,

25

$-O-R_{11}$ , or one or more halogen atoms wherein the hydroxy,  $-O-R_{11}$ , or one or more halogen atoms are bonded to a carbon atom other than a carbon atom adjacent to a nitrogen atom;

X and X' are further characterized in that the total number of ring carbon atoms contributed by X and X' is 1 to 3;

Y is selected from the group consisting of:

a bond,  
 $-S(O)_2-$ ,  
 $-S(O)_2-N(R_8)-$ ,  
 $-C(R_6)-$ ,  
 $-C(R_6)-O-$ ,  
 $-C(R_6)-N(R_8)-$ ,  
 $-C(R_6)-N(R_8)-C(R_6)-$ , and  
 $-C(R_6)-N(R_8)-S(O)_2-$ ;

R<sub>1</sub> is selected from the group consisting of: hydrogen, alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl wherein the alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl groups can be unsubstituted or substituted by one or more substituents independently selected from the group consisting of alkyl, alkoxy, alkylthio, alkanoyl, alkanoyloxy, alkoxycarbonyl, hydroxyalkyl, haloalkyl, haloalkoxy, halogen, nitro, hydroxy, mercapto, cyano, aryl, aryloxy, arylthio, arylalkyleneoxy, heteroaryl, heteroaryloxy, heteroarylalkyleneoxy, heterocyclyl, amino, alkylamino, dialkylamino, (dialkylamino)alkyleneoxy, and in the case of alkyl, alkenyl, alkynyl, and heterocyclyl, oxo, and in the case of aryl, methylenedioxy;

R<sub>6</sub> is selected from the group consisting of =O and =S;

R<sub>8</sub> is selected from the group consisting of hydrogen, C<sub>1-10</sub> alkyl, C<sub>2-10</sub> alkenyl, C<sub>1-10</sub> alkoxy-C<sub>1-10</sub> alkylenyl, and aryl-C<sub>1-10</sub> alkylenyl;

R<sub>9</sub> is selected from the group consisting of hydrogen and alkyl; and

R<sub>11</sub> is selected from the group consisting of C<sub>1-6</sub> alkyl and  $-Si(C_{1-6} \text{ alkyl})_3$ ;

or a pharmaceutically acceptable salt thereof.

9. A compound or salt as in any one of claims 3 through 6 wherein n is 0.

10. A compound or salt of claim 7 wherein p is 0.

11. A compound or salt as in any one of claims 2, 4, 5, 7, and 10 or claim 9 as dependent on claim 4 or claim 5 wherein R<sub>3</sub> is pyridyl, benzyloxy, or 3-pyrrolylpropoxy.

12. A compound or salt as in any one of claims 1, 2, and 4 through 7 wherein R is hydroxy.

13. A compound or salt as in any one of claims 4, 5, 7, and 10 or claim 9 as dependent on claim 4 or claim 5 wherein m is 0.

14. A compound or salt of claim 8 wherein R<sub>A2</sub> and R<sub>B2</sub> are each methyl.

15. A compound or salt as in any one of the preceding claims wherein Y is selected from the group consisting of -C(O)-, -S(O)<sub>2</sub>-, or -C(O)-NH-, and R<sub>1</sub> is C<sub>1-3</sub> alkyl.

16. A compound or salt as in any one of the preceding claims wherein Y is -S(O)<sub>2</sub>-, and R<sub>1</sub> is methyl.

17. A compound or salt as in any one of claims 1 through 16 wherein X is a bond and X' contributes one ring carbon atom.

18. A compound or salt as in any one of claims 1 through 17 wherein X' is methylene.

19. A compound or salt as in any one of claims 1 through 16 wherein X is a bond and X' contributes two ring carbon atoms.

20. A compound or salt as in any one of claims 1 through 16 or claim 19 wherein X' is ethylene.

21. A compound or salt as in any one of claims 1 through 20 wherein the compound or salt induces the biosynthesis of one or more cytokines.

22. A compound or salt as in any one of claims 1 through 20 wherein the compound or salt inhibits the biosynthesis of TNF- $\alpha$ .

23. A compound or salt of as in any one of claims 1 through 5 wherein the compound is 9-(methylsulfonyl)-9,10,11,12-tetrahydro-8*H*-[1,4]diazepino[1',2':1,2]imidazo[4,5-c]quinolin-6-amine or a pharmaceutically acceptable salt thereof.

5

24. A pharmaceutical composition comprising a therapeutically effective amount of a compound or salt of any one of the preceding claims in combination with a pharmaceutically acceptable carrier.

10

25. A method of inducing cytokine biosynthesis in an animal comprising administering an effective amount of a compound or salt of claim 21 or claim 23 to the animal or administering a pharmaceutical composition of claim 24 as dependent on claim 21 or claim 23 to the animal.

15

26. A method of treating a viral disease in an animal in need thereof comprising administering a therapeutically effective amount of a compound or salt of claim 21 or claim 23 to the animal or administering a pharmaceutical composition of claim 24 as dependent on claim 21 or claim 23 to the animal.

20

27. A method of treating a neoplastic disease in an animal in need thereof comprising administering a therapeutically effective amount of a compound or salt of claim 21 or claim 23 to the animal or administering a pharmaceutical composition of claim 24 as dependent on claim 21 or claim 23 to the animal.

25